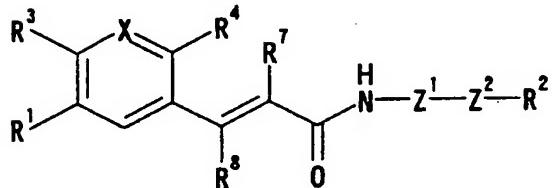


CLAIMS

1. A compound represented by the formula:



wherein R¹ is a 5- or 6-membered ring which may be substituted;

5       R³ is a hydrogen atom, a lower alkyl group which may be substituted or a lower alkoxy group which may be substituted;

R⁷ and R⁸ are each a hydrogen atom or a lower alkyl group which may be substituted;

10      Z¹ is a 5- or 6-membered aromatic ring which may be further substituted;

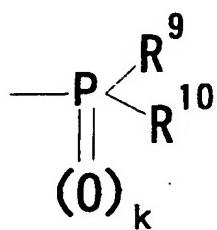
Z² is a group represented by -Z²⁻⁻W¹⁻⁻Z²⁺⁻, wherein Z²⁻⁻ and Z²⁺⁻ are each O, S(O)<sub>m</sub> (wherein m is 0, 1 or 2), an imino group which may be substituted, or a bond, and W¹ is an alkylene chain which may be substituted, an alkenylene chain which may be substituted, or a bond;

X is N or CR, wherein R represents a hydrogen atom, a lower alkyl group which may be substituted, a lower alkoxy group which may be substituted or an acyl group which may be substituted, or R and the adjacent R⁴ may form a 5- or 6-

membered alicyclic heterocyclic group;

R<sup>4</sup> is NR<sup>5</sup>R<sup>6</sup>, wherein R<sup>5</sup> and R<sup>6</sup> each represent a hydrogen atom, a hydrocarbon group which may be substituted, a heterocyclic group which may be substituted or an acyl group  
5 which may be substituted, or R<sup>5</sup> and R<sup>6</sup> are bonded to each other to form a heterocyclic group which may be substituted represented by NR<sup>5</sup>R<sup>6</sup>; and

R<sup>2</sup> is (1) an amino group which may be substituted, in which the nitrogen atom may be converted to a quaternary  
10 ammonium or an oxide, (2) a nitrogen-containing heterocyclic group which may be substituted and may contain a sulfur atom or an oxygen atom as the ring-constituting atom, in which the nitrogen atom may be converted to a quaternary ammonium or an oxide, (3) a group represented by the formula:



15 wherein k represents 0 or 1, and when k is 0, the phosphorus atom may form a phosphonium salt; R<sup>9</sup> and R<sup>10</sup> are each a hydrocarbon group which may be substituted, a hydroxy group which may be substituted or an amino group which may be substituted; or R<sup>9</sup> and R<sup>10</sup> may be bonded to each other to  
20 form a cyclic group with the adjacent phosphorus atom, (4)

an amidino group which may be substituted, or (5) a guanidino group which may be substituted; or a salt thereof.

5        2. A prodrug of the compound according to claim 1.

3. The compound according to claim 1, wherein R<sup>1</sup> is a benzene, a furan, a thiophene, a pyridine, a cyclopentane, a cyclohexane, a pyrrolidine, a piperidine, a piperazine, a 10 morpholine, a thiomorpholine or a tetrahydropyran, each of which may be substituted.

4. The compound according to claim 1, wherein R<sup>1</sup> is a benzene which may be substituted.

15

5. The compound according to claim 1, wherein NR<sup>5</sup>R<sup>6</sup> is a heterocyclic group which may be substituted.

6. The compound according to claim 1, wherein Z<sup>1</sup> is a 20 benzene which may be substituted with a substituent selected from (1) a halogen atom, (2) a C<sub>1-4</sub> alkyl group which may be substituted with a halogen atom, and (3) a C<sub>1-4</sub> alkoxy group which may be substituted with a halogen atom.

25        7. The compound according to claim 1, wherein Z<sup>1</sup> is a

benzene which may be substituted with a methyl group or a trifluoromethyl group.

8. The compound according to claim 1, wherein  $Z^2$  is a  
5 group represented by  $-Z^{2a}-W^2-Z^{2b}-$ , wherein  $Z^{2a}$  and  $Z^{2b}$  are each  
0,  $S(O)_m$  (wherein m is 0, 1 or 2), an imino group which may  
be substituted, or a bond, and  $W^2$  is an alkylene chain which  
may be substituted.

10 9. The compound according to claim 1, wherein  $Z^2$  is a  
group represented by  $-CH_2-$ ,  $-CH(OH)-$  or  $-S(O)_m-CH_2-$  (wherein  
m is 0, 1 or 2).

10. The compound according to claim 1, wherein  $Z^2$  is a  
15 group represented by  $-S(O)_m-CH_2-$  (wherein m is 0, 1 or 2).

11. The compound according to claim 1, wherein  $R^2$  is  
(1) an amino group which may be substituted, in which the  
nitrogen atom may be converted to a quaternary ammonium or  
20 an oxide, (2) a nitrogen-containing heterocyclic group which  
may be substituted and may contain a sulfur atom or an  
oxygen atom as the ring-constituting atom, in which the  
nitrogen atom may be converted to a quaternary ammonium or  
an oxide, (3) an amidino group which may be substituted, or  
25 (4) a guanidino group which may be substituted.

12. The compound according to claim 1, wherein R<sup>2</sup> is an amino group which may be substituted, or a nitrogen-containing heterocyclic group which may be substituted and  
5 may contain a sulfur atom or an oxygen atom as the ring-constituting atom.

13. The compound according to claim 1, wherein R<sup>2</sup> is -NRR', wherein R and R' are each an aliphatic hydrocarbon  
10 group which may be substituted or an alicyclic heterocyclic group which may be substituted.

14. The compound according to claim 1, wherein R<sup>2</sup> is a nitrogen-containing aromatic heterocyclic group which may be  
15 substituted.

15. The compound according to claim 1, wherein R<sup>2</sup> is an imidazolyl group which may be substituted or a triazolyl group which may be substituted.

20

16. The compound according to claim 1, wherein R<sup>1</sup> is a benzene, a furan, a thiophene, a pyridine, a cyclopentane, a cyclohexane, a pyrrolidine, a piperidine, a piperazine, a morpholine, a thiomorpholine or a tetrahydropyran, each of  
25 which may be substituted with a halogen, a nitro, a cyano, a

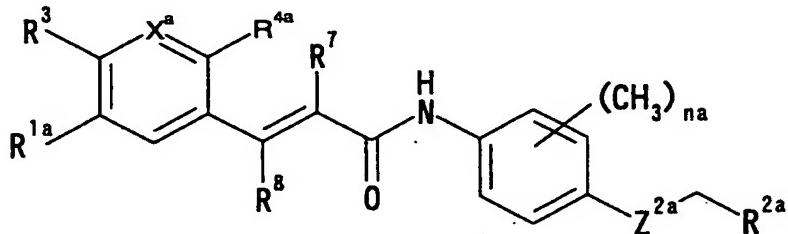
C<sub>1-6</sub> alkyl, a C<sub>1-6</sub> alkoxy, a C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkyl or a C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy;

5 Z<sup>1</sup> is benzene which may be substituted with a substituent selected from (1) a halogen atom, (2) a C<sub>1-4</sub> alkyl group which may be substituted with a halogen atom, and (3) a C<sub>1-4</sub> alkoxy group which may be substituted with a halogen atom;

10 Z<sup>2</sup> is -Z<sup>2a</sup>-W<sup>1</sup>-Z<sup>2b</sup>-, wherein Z<sup>2a</sup> and Z<sup>2b</sup> are each O, S(O)<sub>m</sub> (wherein m is 0, 1 or 2), an imino group which may be substituted with a C<sub>1-4</sub> alkyl group, or a bond, and W<sup>1</sup> is a bond, or a C<sub>1-4</sub> alkylene chain or a C<sub>2-4</sub> alkenylene chain, each of which may be substituted with a C<sub>1-6</sub> alkyl, a hydroxy group, a hydroxyimino or a C<sub>1-6</sub> alkoxyimino; and

15 R<sup>2</sup> is an amino group which may be substituted with a C<sub>1-4</sub> alkyl group, or a nitrogen-containing heterocyclic group which may contain a sulfur atom or an oxygen atom as the ring-constituting atom and may be substituted with a C<sub>1-4</sub> alkyl group.

20 17. A compound represented by the formula:



wherein R<sup>1a</sup> is a (C<sub>1-6</sub> alkoxy-C<sub>1-6</sub> alkoxy)phenyl;

R<sup>2a</sup> is (1) an N-C<sub>1-6</sub> alkyl-N-tetrahydropyranylamino, (2) an imidazolyl which may be substituted with C<sub>1-6</sub> alkyl which may be substituted, or (3) a triazolyl which may be  
5 substituted with a C<sub>1-6</sub> alkyl which may be substituted;

R<sup>3</sup> is a hydrogen atom, a lower alkyl group which may be substituted or a lower alkoxy group which may be substituted;

R<sup>4a</sup> is NR<sup>5a</sup>R<sup>6a</sup>, wherein R<sup>5a</sup> and R<sup>6a</sup> are bonded to each  
10 other to form a heterocyclic group which may be substituted represented by NR<sup>5a</sup>R<sup>6a</sup>;

X<sup>a</sup> is CH or N;

n<sub>a</sub> is 0 or 1; and

Z<sup>2a</sup> is a bond, S, SO or SO<sub>2</sub>;

15 or a salt thereof.

18. The compound according to claim 17, wherein Z<sup>2a</sup> is SO.

20 19. The compound according to claim 18, wherein Z<sup>2a</sup> is SO having a configuration of (S).

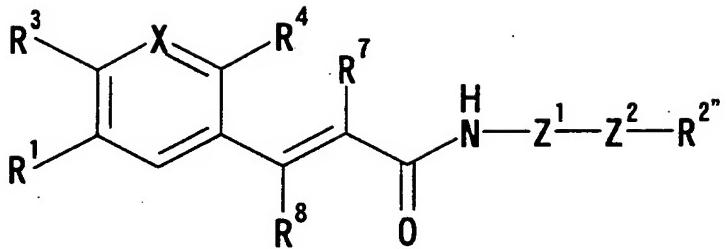
20. The compound according to claim 17, wherein R<sup>4a</sup> is a 1-pyrrolidinyl group which may be substituted.

21. (Ss)-(2E)-3-[4'-(2-butoxyethoxy)-4-(3-methylpyrrolidin-1-yl)-1,1'-biphenyl-3-yl]-2-methyl-N-[4-[(1-propyl-1H-imidazol-5-yl)methyl]sulfinyl]phenylacrylamide, (Ss)-(2E)-3-[4'-(2-butoxyethoxy)-4-[3-(hydroxymethyl)pyrrolidin-1-yl]-1,1'-biphenyl-3-yl]-2-methyl-N-[4-[(1-propyl-1H-imidazol-5-yl)methyl]sulfinyl]phenylacrylamide, (Ss)-(2E)-3-[4'-(2-butoxyethoxy)-4-(3-carboxypyrrolidin-1-yl)-1,1'-biphenyl-3-yl]-2-methyl-N-[4-[(1-propyl-1H-imidazol)-5-yl)methyl]sulfinyl]phenylacrylamide and diastereomers thereof.

22. (Ss)-(2E)-3-[5-[4-(2-butoxyethoxy)phenyl]-2-[3-(hydroxymethyl)pyrrolidin-1-yl]pyridin-3-yl]-2-methyl-N-[4-[(1-propyl-1H-imidazol-5-yl)methyl]sulfinyl]phenylacrylamide and a diastereomer thereof, and (S)-(2E)-3-[5-[4-(2-butoxyethoxy)phenyl]-2-pyrrolidin-1-ylpyridin-3-yl]-2-methyl-N-[4-[(1-propyl-1H-imidzol-5-yl)methyl]sulfinyl]phenylacrylamide.

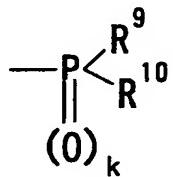
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23. A process for producing a compound represented by the formula:

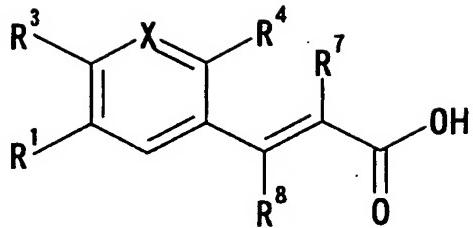


wherein R<sup>2</sup>" is (1) an amino group which may be substituted,  
in which the nitrogen atom may be converted to a quaternary  
ammonium, (2) a nitrogen-containing heterocyclic group which  
may be substituted and may contain a sulfur atom or an

- 5 oxygen atom as the ring-constituting atom, in which the  
nitrogen atom may be converted to a quaternary ammonium, or  
(3) a group represented by formula:

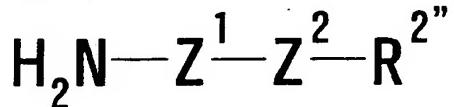


- wherein k represents 0 or 1, and when k is 0, the phosphorus  
atom may form a phosphonium salt; R<sup>9</sup> and R<sup>10</sup> are each a  
10 hydrocarbon group which may be substituted, a hydroxy group  
which may be substituted or an amino group which may be  
substituted; or R<sup>5</sup> and R<sup>6</sup> may be bonded to each other to form  
a cyclic group with the adjacent phosphorus atom; and the  
other symbols have the same meanings as defined in claim 1;  
15 or a salt thereof, which comprises subjecting a compound  
represented by the formula:



wherein each symbol has the same meaning as defined in claim 1;

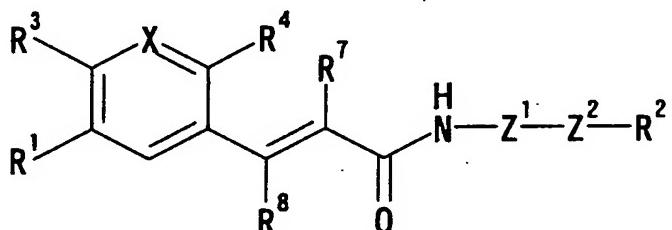
or a salt thereof or a reactive derivative thereof, and a compound represented by the formula:



- 5 wherein Z<sup>1</sup> and Z<sup>2</sup> have the same meaning as defined in claim 1, and R<sup>2''</sup> has the same meaning as defined above; or a salt thereof to a condensation reaction, and then optionally to deprotection, oxidation-reduction and/or quaternization reaction.

10

24. A pharmaceutical composition comprising the compound represented by the formula:



wherein R<sup>1</sup> is a 5- or 6-membered ring which may be

substituted;

$R^3$  is a hydrogen atom, a lower alkyl group which may be substituted or a lower alkoxy group which may be substituted;

5        $R^7$  and  $R^8$  are each a hydrogen atom or a lower alkyl group which may be substituted;

$Z^1$  is a 5- or 6-membered aromatic ring which may be further substituted;

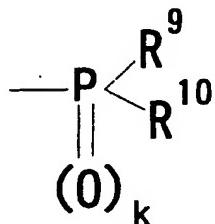
10       $Z^2$  is a group represented by  $-Z^{2a}-W^1-Z^{2b}-$ , wherein  $Z^{2a}$  and  $Z^{2b}$  are each O,  $S(O)_m$  (wherein m is 0, 1 or 2), an imino group which may be substituted, or a bond, and  $W^1$  is an alkylene chain which may be substituted, an alkenylene chain which may be substituted, or a bond;

15      X is N or CR, wherein R represents a hydrogen atom, a lower alkyl group which may be substituted, a lower alkoxy group which may be substituted or an acyl group which may be substituted, or R and the adjacent  $R^4$  may form a 5- or 6-membered alicyclic heterocyclic group;

20       $R^4$  is  $NR^5R^6$ , wherein  $R^5$  and  $R^6$  each represent a hydrogen atom, a hydrocarbon group which may be substituted, a heterocyclic group which may be substituted or an acyl group which may be substituted, or  $R^5$  and  $R^6$  are bonded to each other to form a heterocyclic group which may be substituted represented by  $NR^5R^6$ ; and

25       $R^2$  is (1) an amino group which may be substituted, in

which the nitrogen atom may be converted to a quaternary ammonium or an oxide, (2) a nitrogen-containing heterocyclic group which may be substituted and may contain a sulfur atom or an oxygen atom as the ring-constituting atom, in which  
5 the nitrogen atom may be converted to a quaternary ammonium or an oxide, (3) a group represented by the formula:



wherein k represents 0 or 1, and when k is 0, the phosphorus atom may form a phosphonium salt; R<sup>9</sup> and R<sup>10</sup> are each a hydrocarbon group which may be substituted, a hydroxy group  
10 which may be substituted or an amino group which may be substituted; or R<sup>9</sup> and R<sup>10</sup> may be bonded to each other to form a cyclic group with the adjacent phosphorus atom, (4) an amidino group which may be substituted, or (5) a guanidino group which may be substituted;  
15 or a salt thereof or a prodrug thereof.

25. The pharmaceutical composition according to claim 24, which is a CCR antagonist.

20 26. The pharmaceutical composition according to claim

25, wherein CCR is CCR5 and/or CCR2.

27. The pharmaceutical composition according to claim  
25, wherein CCR is CCR5.

5

28. The pharmaceutical composition according to claim  
24, which is a prophylactic and/or therapeutic agent for HIV  
infection, chronic rheumatoid arthritis, autoimmune diseases,  
allergic diseases, ischemic brain cell disorder, cardiac  
10 infarction, nephritis/nephropathy, arteriosclerosis or  
graft-versus-host diseases.

29. The pharmaceutical composition according to claim  
24, which is a prophylactic and/or therapeutic agent for HIV  
15 infection.

30. The pharmaceutical composition according to claim  
24, which is a prophylactic and/or therapeutic agent for  
AIDS.

20

31. The pharmaceutical composition according to claim  
24, which is a suppressive agent for disease progression of  
AIDS.

25           32. A method for preventing or treating HIV infection,

chronic rheumatoid arthritis, autoimmune diseases, allergic diseases, ischemic brain cell disorder, cardiac infarction, nephritis/nephropathy, arteriosclerosis or graft-versus-host diseases, which comprises administering an effective amount  
5 of the compound according to claim 1, a salt or prodrug thereof to a subject in need thereof.

33. Use of the compound according to claim 1, a salt or prodrug thereof, for the manufacture of a prophylactic  
10 and/or therapeutic agent for HIV infection, chronic rheumatoid arthritis, autoimmune diseases, allergic diseases, ischemic brain cell disorder, cardiac infarction, nephritis/nephropathy, arteriosclerosis or graft-versus-host diseases.